10/632,192 EAST

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	553	((514/266.3) or (514/266.31) or (544/286)).CCLS.	US-PGPUB; USPAT	OR	OFF	2005/02/05 14:38
L2	288	L1 and trifluoromethyl	US-PGPUB; USPAT	OR	OFF	2005/02/05 14:38
L3	131	L2 and cyclopropyl	US-PGPUB; USPAT	OR	OFF	2005/02/05 14:38

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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS	4	OCT 28	KOREAPAT now available on STN
NEWS	5	NOV 30	PHAR reloaded with additional data
NEWS	6	DEC 01	LISA now available on STN
NEWS	7	DEC 09	12 databases to be removed from STN on December 31, 2004
NEWS	8	DEC 15	MEDLINE update schedule for December 2004
NEWS	9	DEC 17	ELCOM reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	10	DEC 17	COMPUAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	11	DEC 17	SOLIDSTATE reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	12	DEC 17	CERAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	13	DEC 17	THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS	14	DEC 30	EPFULL: New patent full text database to be available on STN
NEWS	15	DEC 30	CAPLUS - PATENT COVERAGE EXPANDED
NEWS	16	JAN 03	No connect-hour charges in EPFULL during January and February 2005
NEWS	17	JAN 26	CA/CAPLUS - Expanded patent coverage to include the Russian Agency for Patents and Trademarks (ROSPATENT)

NEWS EXPRESS	JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

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FILE 'HOME' ENTERED AT 14:26:41 ON 05 FEB 2005

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:26:53 ON 05 FEB 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 3 FEB 2005 HIGHEST RN 825595-30-0
DICTIONARY FILE UPDATES: 3 FEB 2005 HIGHEST RN 825595-30-0

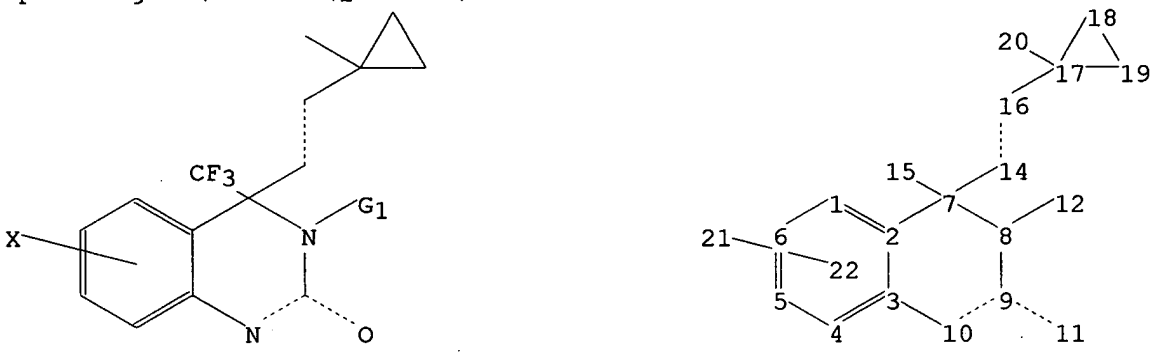
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\STNEXP4\QUERIES\10632192.str



chain nodes :
11 12 14 15 16 20 21
ring nodes :
1 2 3 4 5 6 7 8 9 10 17 18 19
chain bonds :
7-15 7-14 8-12 9-11 14-16 16-17 17-20
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 17-18 17-19 18-19
exact/norm bonds :
2-7 3-10 7-8 8-9 8-12 9-10 9-11 14-16
exact bonds :
7-15 7-14 16-17 17-18 17-19 17-20 18-19
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :

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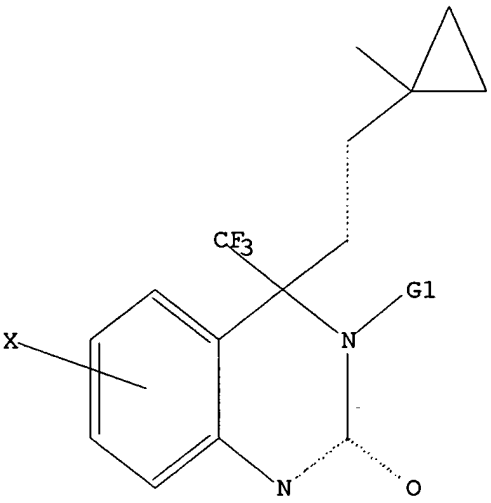
containing 1 : 17 :

G1:Cb,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom 19:Atom 20:CLASS 21:CLASS 22:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 Cb,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sample
SAMPLE SEARCH INITIATED 14:27:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 4 TO 200
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s quinazolin? and cyclopropyl and trifluoromethyl
267352 QUINAZOLIN?
187866 CYCLOPROPYL
674961 TRIFLUOROMETHYL

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L3 221 QUINAZOLIN? AND CYCLOPROPYL AND TRIFLUOROMETHYL

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	14.66	14.87

FILE 'CAPLUS' ENTERED AT 14:28:18 ON 05 FEB 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 5 Feb 2005 VOL 142 ISS 7
FILE LAST UPDATED: 4 Feb 2005 (20050204/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 89 L3

=> s l4 and (propenyl or allyl or propynyl)
13270 PROPENYL
97333 ALLYL
5809 PROPYNYL
L5 7 L4 AND (PROPENYL OR ALLYL OR PROPYNYL)

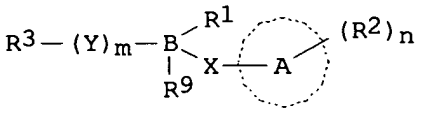
=> d l5 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 7 ANSWERS - CONTINUE? Y/(N):y

L5 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:534204 CAPLUS
DOCUMENT NUMBER: 141:89006
TITLE: Preparation of pyrrolidine and azetidine compounds as CCR5 antagonists
INVENTOR(S): Yang, Hanbiao; Kazmierski, Wieslaw Mieczyslaw; Aquino, Christopher Joseph
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004055016	A1	20040701	WO 2003-US39618	20031212

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2002-433372P P 20021213
OTHER SOURCE(S): MARPAT 141:89006
GI



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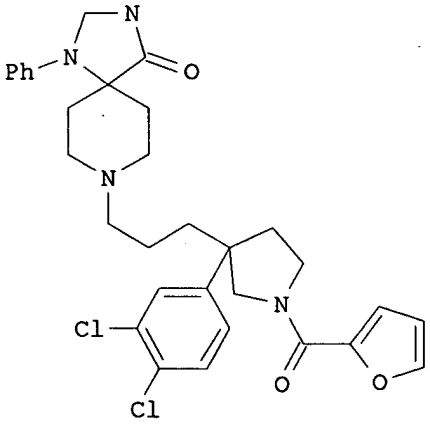
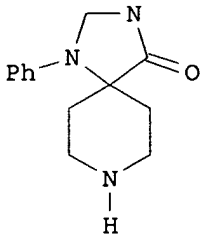


II



III

AB Title compds. I [R1 = (un)substituted-alkyl, -alkynyl, -cycloalkyl, -heterocyclyl, etc., or R1 and X taken together form a saturated, partially saturated or aromatic 5-6 membered ring having 0-3 heteroatoms selected from O, P, S, or N that is fused to ring A; R2 = OH, halogen (un)substituted-alkyl, -alkoxy, -aryl, -heteroaryl, -cycloalkyl, etc., or two geminal R2s are optionally taken together to from a spiro, saturated, partially saturated or aromatic 5-6 membered ring having 0-3 heteroatoms selected from O, P, S, or N, said fused or spiro ring optionally substituted; R3 = H, halo, cyano, trifluoromethyl, (un)substituted amino, acylamino, alkyl; R9 = H or oxo; X = C1-5 alkylene, optionally substituted with oxo, thioxo, -S(O)t where t = 1 or 2, halogen atoms, or alkyl and optionally containing 1-3 oxygen, nitrogen, sulfur, or phosphorus atoms; Y = carbonyl, thiocarbonyl, 1,2-dioxoethylene, alkyl, alkenyl, etc.; A = saturated, partially saturated, or aromatic 3-7 monocyclic or 8-10 membered bicyclic ring having one ring nitrogen and 0-4 addnl. heteroatoms selected from O, P, S or N; m = 0 or 1, n = 0-5;] and their pharmaceutically acceptable salts are prepared and disclosed as CCR5 antagonists. Thus, II was prepared via condensation of tert-Bu 3-(3,4-dichlorophenyl)-3-(3-oxopropyl)pyrrolidne-1-carboxylate (preparation given) with the amine III followed by deprotection and acylation

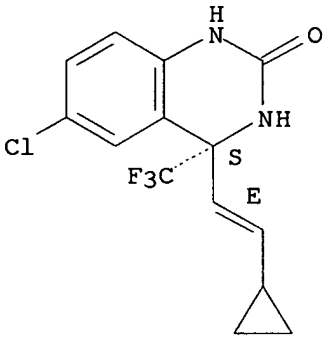
with 2-furanoyl chloride. I have pIC50 values of ≥5 in assays for CCR5 antagonism. As CCR5 antagonists, I are useful for the treatment of viral infections (particularly HIV infection).

IT **214287-99-7**, DPC-083
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(codrug for therapeutic administration; preparation of pyrrolidine and azetidine derivs. as CCR5 antagonists)

RN 214287-99-7 CAPLUS

CN 2(1H)-Quinazolinone, 6-chloro-4-[(1E)-2-cyclopropylethenyl]-3,4-dihydro-4-(trifluoromethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:1006962 CAPLUS
DOCUMENT NUMBER: 140:59652
TITLE: Preparation of fused-ring pyrimidin-4(3H)-one derivatives as LXR modulators
INVENTOR(S): Kaneko, Satoru; Watanabe, Tsuyoshi; Oda, Kozo; Mohan, Raju; Schweiger, Edwin J.; Martin, Richard
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan; X-Ceptor Therapeutics, Inc.
SOURCE: PCT Int. Appl., 465 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106435	A1	20031224	WO 2003-JP7677	20030617

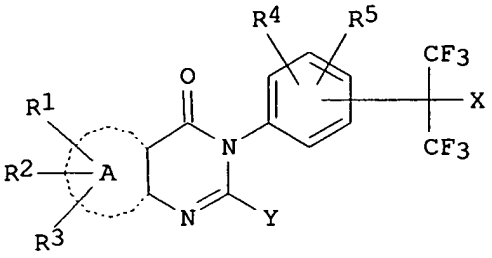
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-389662P P 20020618

10/ 632,192

OTHER SOURCE(S): MARPAT 140:59652
GI



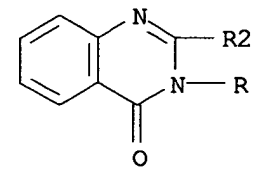
I

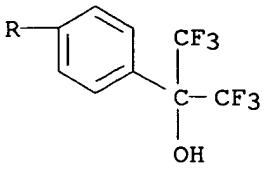
AB The title compds. [I; A = aryl or heteroaryl; R1-R3 = H, OH, NO2, CN, etc.; or R1 and R2 together = alkylenedioxy; R4, R5 = H, OH, NH2, halo, etc.; X = H, OH, halo, alkoxy, haloalkoxy; Y = (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, cycloalkylalkyl, heterocyclylalkyl or aralkyl] which can modulate LXR function and as a result show excellent anti-arteriosclerotic and anti-inflammatory activity, were prepared and formulated. Thus, reacting anthranilic acid with phenylacetic acid in the presence of PPh3 in pyridine followed by addition of 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol afforded 76% 2-benzyl-3-{4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl}-4(3H)-quinazolinone. The compds. I showed excellent binding affinity against LXR (biol. data were given).

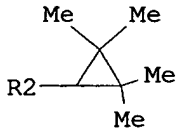
IT **637346-12-4P 637346-13-5P 637347-22-9P 637347-40-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused-ring pyrimidin-4(3H)-one derivs. as LXR modulators)

RN 637346-12-4 CAPLUS

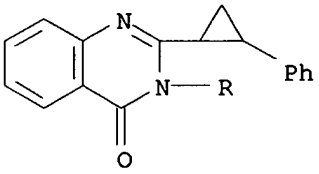
CN 4(3H)-Quinazolinone, 2-(2,2,3,3-tetramethylcyclopropyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

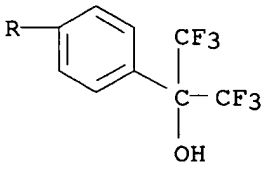




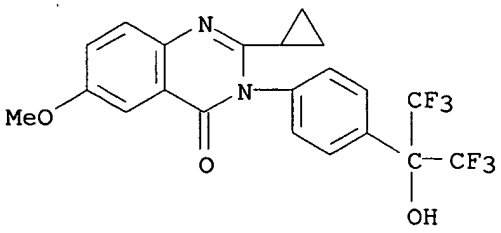


RN 637346-13-5 CAPLUS
CN 4(3H)-Quinazolinone, 2-(2-phenylcyclopropyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



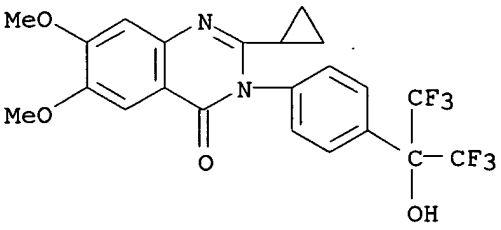


RN 637347-22-9 CAPLUS
CN 4(3H)-Quinazolinone, 2-cyclopropyl-6-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



10/ 632,192

RN 637347-40-1 CAPLUS
CN 4(3H)-Quinazolinone, 2-cyclopropyl-6,7-dimethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

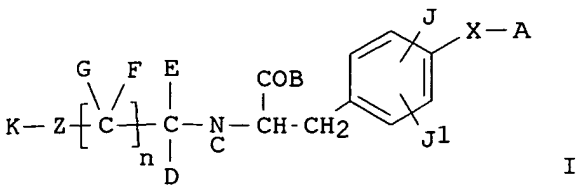


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:380546 CAPLUS
DOCUMENT NUMBER: 134:367194
TITLE: Preparation of novel phenylalanine derivatives as α4-integrin inhibitors
INVENTOR(S): Tanaka, Yasuhiro; Yoshimura, Toshihiko; Izawa, Hiroyuki; Ejima, Chieko; Kojima, Mitsuhiko; Atake, Yuko; Nakanishi, Eiji; Suzuki, Nobuyasu; Makino, Shingo; Suzuki, Manabu; Murata, Masahiro
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036376	A1	20010525	WO 2000-JP8152	20001120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001014165	A5	20010530	AU 2001-14165	20001120
EP 1233013	A1	20020821	EP 2000-976347	20001120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003149083	A1	20030807	US 2002-150067	20020520
PRIORITY APPLN. INFO.:			JP 1999-328468 A	19991118
			JP 2000-197139 A	20000629
			WO 2000-JP8152 W	20001120

OTHER SOURCE(S): MARPAT 134:367194
GI



I

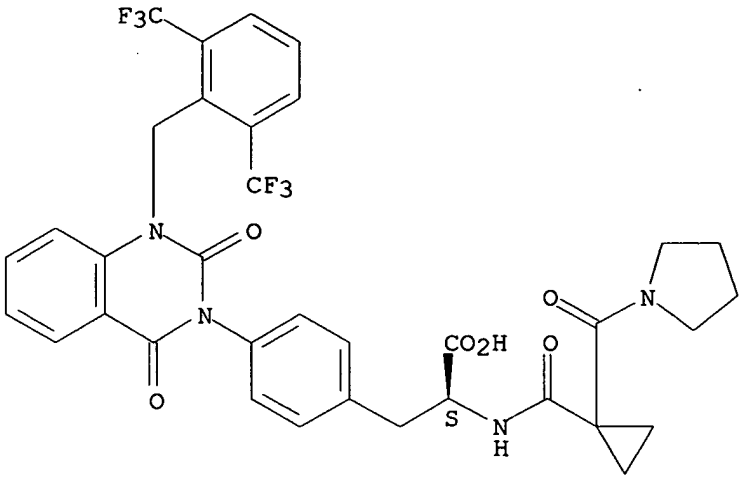
AB Phenylalanine derivs. represented by general formula (I) or pharmaceutically acceptable salts thereof [wherein X represents an interat. bond, O, OSO2, N-(un)substituted NH, NHCO, NHSO2, NHCONH, or NH(CS)NH, CO; Y and Z represent each CO, SO, or SO2; A represents a specific substituted Ph group or nitrogen-containing heterocycle such as aromatic-fused pyrimidinedione or pyrimidinone, 2,4- or 2,5-imidazolidinedione, or 5-imidazolone; C represents hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally containing heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl; D and E represent each lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally containing heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl, etc. or D and E may be bonded to each other to form a ring optionally containing 1 or 2 O, N, or S in the ring; F and G represent each hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally containing heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl, etc. or F and G may be bonded to each other to form a ring; n is from 0 to 2; K represents OR7, NR7R8, NHNR7R8, SR7, or R7; R7 and R8 represents H, lower alkyl, etc.; and J and J' represent each hydrogen, halogeno, lower alkyl, lower alkoxy, or NO2] are prepared These derivs. and analogs thereof show an α4 integrin inhibitory activity and are usable as remedies for various diseases relating to α4 integrin, such as inflammatory diseases related to α4 integrin-dependent adhesion process, arthritis, inflammatory intestinal diseases, systemic lupus erythematosus, multiple sclerosis, Sjoegren syndrome, psoriasis, allergy, diabetes, cardiovascular diseases, arteriosclerosis, restenosis, tumor proliferation, tumor metastasis, or transplant rejection. Thus, O-(2,6-dichlorobenzyl)-L-tyrosine bound to Wang resin was allowed to react with diethylmalonic acid, HOAt, 2-dimethylaminoisopropyl chloride hydrochloride (DIC), and N-methyl-2-pyrrolidinone (NMP) at room temperature for 16 h, washed with DMF five times, and condensed with pyrroline using HOAt, DIC, and NMP, followed by oxidation with OsO4 in dioxane at room temperature for 16 and resin-cleavage in aqueous CF3CO2H to give N-[2-[(cis-2,4-dihydroxypyrrolidin-1-yl)carbonyl]-2-ethylbutanoyl]-O-(2,6-dichlorobenzyl)-L-tyrosine (II). II and N-[2-[(pyrrolidin-1-yl)carbonyl]-2-ethylbutanoyl]-4-(2,6-dichlorobenzoylamino)-L-phenylalanine inhibited the binding of human recombinant VCAM-1 to human B lymphoma cell line expressing integrinα4β7 with IC50 of ≤0.02 μmol/L.

IT **340717-80-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel phenylalanine derivs. as α4-integrin inhibitors)

RN 340717-80-8 CAPLUS

CN L-Phenylalanine, 4-[1-[[2,6-bis(trifluoromethyl)phenyl]methyl]-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl]-N-[[1-(1-pyrrolidinylcarbonyl)cyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

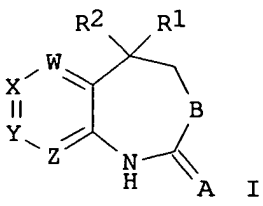


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:15187 CAPLUS
DOCUMENT NUMBER: 132:78576
TITLE: 1,3-benzodiazepin-2-ones and 1,3-benzoxazepin-2-ones useful as HIV reverse transcriptase inhibitors
INVENTOR(S): Rodgers, James D.; Cocuzza, Anthony J.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 163 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000000479	A1	20000106	WO 1999-US13872		19990618
W: AU, BR, CA, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IT, LI, LU, MC, PT, SE					
CA 2330110	AA	20000106	CA 1999-2330110		19990618
AU 9946983	A1	20000117	AU 1999-46983		19990618
EP 1091944	A1	20010418	EP 1999-930440		19990618
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO					
JP 2003534230	T2	20031118	JP 2000-557240		19990618
PRIORITY APPLN. INFO.:			US 1998-19252P	P	19980630
			WO 1999-US13872	W	19990618

OTHER SOURCE(S): MARPAT 132:78576
GI



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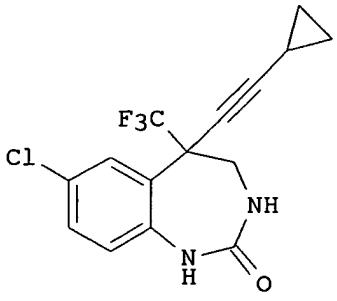


II

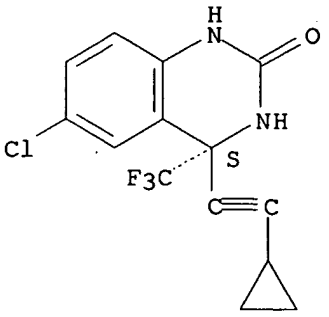
AB Title compds. (I) [wherein A = O or S; B = O, S, or (un)substituted amino; W = N or CR3; X = N or CR3A; Y = N or CR3B; Z = N or CR3C; R1 = (halo)alkyl or (cyclopropyl)alkyl; R2 = H, Me, Et, i-Pr, n-Pr, OH, alkoxy, alkenyloxy, alkynyloxy, alkylthio, alkenylthio, alkynylthio, alkylamino, alkenylamino, alkynylamino, 4-7 membered cyclic amine, etc.; R3, R3A, R3B, and R3C = independently H, alkyl, OH, alkoxy, OCF3, halo, NO2, CN, acyl, acylamino, alkylsulfonylamino, phenylsulfonylamino, (un)substituted amino, ureido, or aminosulfonyl, or 5-6 membered heteroarom. ring containing 1-4 O, N, and/or S] were prepared for the treatment of HIV infection. For instance, II was synthesized in a 8-step sequence involving (1) amidation of 4-chloro-2-(trifluoroacetyl)aniline with bromoacetyl bromide, (2) addition of benzenesulfinate, followed by cyclization to form 6-chloro-4-hydroxy-3-(phenylsulfonyl)-1,2,3,4-tetrahydro-4-(trifluoromethyl)quinolin-2-one (89%), (3) reduction to the 2(1H)-quinolinone (93%), (4) 4-addition of cyclopropylacetylene (60%), (5) 3-elimination (90%), (6) N-protection with (BOC)2O (93%), (7) ring opening and amidation with NH2OH.HCl (95%), (8) cyclization and N-deprotection with TsCl/NaOH in dioxane (40%). A number of the compds. of the invention exhibited an IC90 of ≤ 20 μM in an HIV RNA assay using HIV-1 infected MT-2 cells, thereby confirming the utility of the compds. as effective HIV reverse transcriptase inhibitors. The invention compds., their stereoisomeric forms, stereoisomeric mixts., or pharmaceutically acceptable salt forms are useful in pharmaceutical compns. for treating HIV and other viral infections, in diagnostic kits, or as an assay standard or reagent. Claims also include treatment of HIV infection by coadministration of I with at least one other HIV reverse transcriptase inhibitor and/or HIV protease inhibitor.

IT **214287-88-4**, DPC 961 **214287-99-7**, DPC 083
253678-35-2, DPC 082 **253678-36-3**, DPC 963
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical coadministration of 1,3-benzodiazepin-2-one or 1,3-benzoxazepin-2-one antivirals with HIV reverse transcriptase inhibitors and/or HIV protease inhibitors for treatment of HIV infections)

RN 214287-88-4 CAPLUS

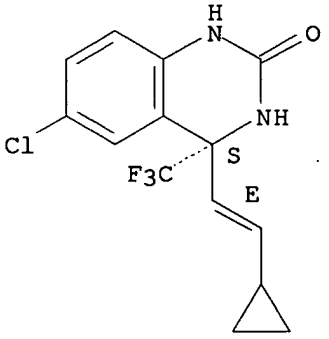
CN 2(1H)-Quinazolinone, 6-chloro-4-(cyclopropylethynyl)-3,4-dihydro-4-(trifluoromethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



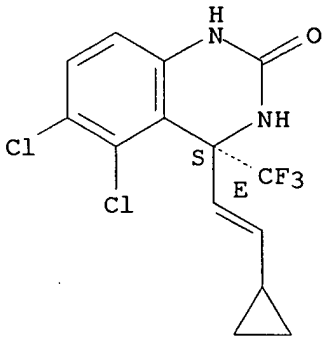
RN 214287-99-7 CAPLUS
CN 2(1H)-Quinazolinone, 6-chloro-4-[(1E)-2-cyclopropylethenyl]-3,4-dihydro-4-(trifluoromethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



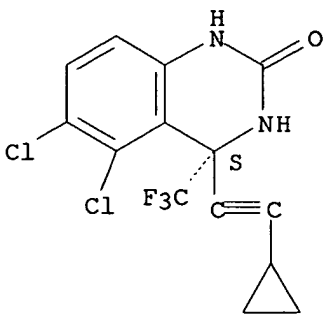
RN 253678-35-2 CAPLUS
CN 2(1H)-Quinazolinone, 5,6-dichloro-4-[(1E)-2-cyclopropylethenyl]-3,4-dihydro-4-(trifluoromethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 253678-36-3 CAPLUS
CN 2(1H)-Quinazolinone, 5,6-dichloro-4-(cyclopropylethynyl)-3,4-dihydro-4-(trifluoromethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

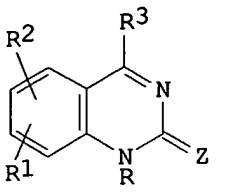


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:517899 CAPLUS
DOCUMENT NUMBER: 87:117899
TITLE: 2(1H)-Quinazolinones and -thiones
INVENTOR(S): Yamamoto, Michihiro; Katayama, Shigenari; Koshiba, Masao; Yamamoto, Hisao
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Ger. Offen., 11 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2656156	A1	19770623	DE 1976-2656156	19761210
JP 52071483	A2	19770614	JP 1975-148279	19751211
NL 7613307	A	19770614	NL 1976-13307	19761130
US 4387223	A	19830607	US 1976-748145	19761206
FR 2376142	B1	19790420	FR 1976-36740	19761207
FR 2376142	A1	19780728		
HU 173530	P	19790628	HU 1976-SU934	19761208
DK 7605530	A	19770612	DK 1976-5530	19761209
DK 138989	C	19790514		
DK 138989	B	19781127		
SE 7613839	A	19770612	SE 1976-13839	19761209
SE 422578	B	19820315		
SE 422578	C	19820624		
CH 602667	A	19780731	CH 1976-15505	19761209
CA 1068694	A1	19791224	CA 1976-267562	19761209
AT 352737	B	19791010	AT 1976-9159	19761210
AT 7609159	A	19790315		

PRIORITY APPLN. INFO.: JP 1975-148279 A 19751211
OTHER SOURCE(S): CASREACT 87:117899
GI



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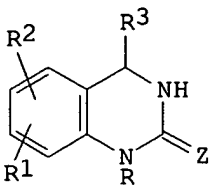


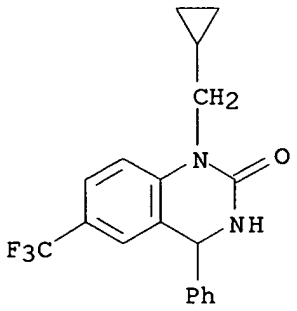
II

AB The title compds. I (R = cyclopropylmetyl, PhCH2, Et, **allyl**, F3CCH2, etc.; R1 = R2 = H, Me, CF3, Ac, NO2, etc.; R3 = Ph, furyl, thienyl; Z = O, S) were prepared by refluxing II with S in o-Cl2C6H4. I are useful as analgesics, antiphlogistics, and virucides (no data).

IT **36942-70-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(dehydrogenation of)

RN 36942-70-8 CAPLUS

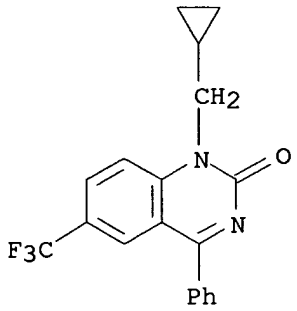
CN 2(1H)-Quinazolinone, 1-(cyclopropylmethyl)-3,4-dihydro-4-phenyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



IT **33443-33-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 33443-33-3 CAPLUS

CN 2(1H)-Quinazolinone, 1-(cyclopropylmethyl)-4-phenyl-6-(trifluoromethyl)- (8CI, 9CI) (CA INDEX NAME)



L5 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1973:549319 CAPLUS

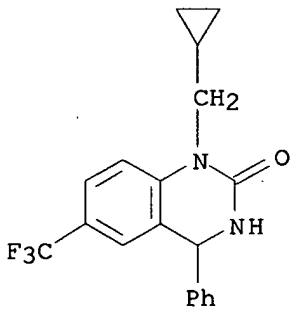
DOCUMENT NUMBER: 79:149319

10/ 632,192

TITLE: 2(1H)-Quinazolinone derivatives as uricosurics
INVENTOR(S): Yamamoto, Michihiro; Morooka, Shigeaki; Koshiba, Masao; Aono, Shunji; Aisaka, Akira; Inabe, Shigeho; Nakatani, Hiroshi; Yamamoto, Hisao
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.
SOURCE: Ger. Offen., 28 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2307808	A1	19730830	DE 1973-2307808	19730216
JP 48085719	A2	19731113	JP 1972-17442	19720218
BE 795519	A1	19730618	BE 1973-127723	19730216
FR 2181744	A2	19731207	FR 1973-5627	19730216
FR 2181745	A2	19731207	FR 1973-5628	19730216
FR 2181746	A2	19731207	FR 1973-5629	19730216
AU 7352299	A1	19740822	AU 1973-52299	19730219

PRIORITY APPLN. INFO.: JP 1972-17442 A 19720218
GI For diagram(s), see printed CA Issue.
AB Fifty quinazolinone derivs. [I and II, e.g. R = Me, **allyl**, cyclopropylmethyl, CH2OMe, CH2CH2SMe, 2,3-epoxypropyl, (CH2)4OH, CH2CH2NEt2, CH2CF3, or (1-methylcyclohexyl)methyl; R1 = Ph, 3-ClC6H4, cyclohexyl, 2-pyridyl, or 2-thienyl; R2 = Me, Cl, Br, O2N, MeO, H2N, MeS, MeSO2, or MeO2C; R3 = H or Me; or R2R3 = OCH2O; R4 = H; R5 = H or CH2CH2NEt2; or R4R5 = OCH2CH2, O(CH2)3, NMeCH2CH2, or NH(CH2)3] or their hydrochlorides increased uric acid excretion of mice and were useful for the treatment of gout. I and II were more effective than probenecid, e.g. 100 mg I (R = CH2CH2OAc, R1 = Ph, R2 = O2N, R3 = H)/kg mice (orally) caused the excretion of 100 μg uric acid/100 g body weight
IT **36942-70-8**
RL: BIOL (Biological study)
(uricosuric)
RN 36942-70-8 CAPLUS
CN 2(1H)-Quinazolinone, 1-(cyclopropylmethyl)-3,4-dihydro-4-phenyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1972:540129 CAPLUS
DOCUMENT NUMBER: 77:140129
TITLE: 2(1H)-Quinazolinones
INVENTOR(S): Yamamoto, Michihiro; Koshiba, Masao; Inaba, Shigeho; Yamamoto, Hisao

10/ 632,192

PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.
SOURCE: Ger. Offen., 21 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2159655	A	19720622	DE 1971-2159655	19711201
DE 2159655	B2	19751023		
DE 2159655	C3	19760526		
JP 51018423	B4	19760609	JP 1970-109975	19701208
CH 558800	A	19750214	CH 1971-17413	19711130
CA 1002046	A1	19761221	CA 1971-128964	19711130
AU 7136340	A1	19730607	AU 1971-36340	19711201
FR 2117301	A5	19720721	FR 1971-43282	19711202
AT 319919	B	19750110	AT 1971-10437	19711203
GB 1353789	A	19740522	GB 1971-56613	19711206
BE 776332	A1	19720404	BE 1971-111353	19711207
NL 7116769	A	19720612	NL 1971-16769	19711207
DD 95841	C	19730220	DD 1971-159419	19711207
SU 517242	D	19760605	SU 1971-1723376	19711207
SE 397518	B	19771107	SE 1971-15685	19711207
HU 163952	P	19731128	HU 1971-SU751	19711208

PRIORITY APPLN. INFO.: JP 1970-109975 A 19701208

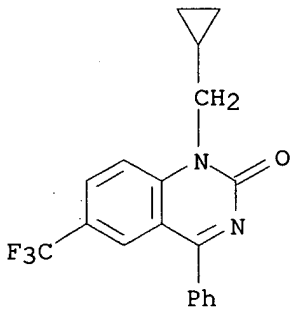
GI For diagram(s), see printed CA Issue.

AB Sixty-seven title compds. [I, R = Me, Et, CHMe2, **allyl**, CH2-CH:CMe2, (CH2)3Cl, CH2Ph, CH2C6H4F-o, C3-6 cycloalkyl-methyl, cyclohexyl, CH2OMe, CH2CF3, cyclohexylethyl, OH, OEt, SMe, NEt2, morpholino, R1 = Ph, cyclohexyl, 2-thienyl, 2-pyridyl, o-ClC6H4, m-ClC6H4, o-FC6H4, o-MeC6H4, or p-MeO-C6H4; R2 = H, F, Cl, Br, I, CF3, MeO, MeS, MeSO2, O2N; R3 = H, 7-Me, 7-MeO, 7-Cl, 8-Cl or their HCl salts, useful as antiphlogistics, analgesics, or intermediates for phar-maceuticals, were prepared Thus, 5,2-Cl(NH2)C6H3COPh was treated with NaH and EtI and the product treated with Cl-CO2Et to give 2,5(EtO2CNEt)ClC6H3COPh, which was treated with AcONH4 and KOH to give I (R = Et, R1 = Ph, R2 = R3 = H).

IT **33443-33-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 33443-33-3 CAPLUS

CN 2(1H)-Quinazolinone, 1-(cyclopropylmethyl)-4-phenyl-6-(trifluoromethyl)- (8CI, 9CI) (CA INDEX NAME)



10/ 632,192

=> d his

(FILE 'HOME' ENTERED AT 14:26:41 ON 05 FEB 2005)

FILE 'REGISTRY' ENTERED AT 14:26:53 ON 05 FEB 2005
L1 STRUCTURE UPLOADED
L2 0 S L1 SAMPLE
L3 221 S QUINAZOLIN? AND CYCLOPROPYL AND TRIFLUOROMETHYL

FILE 'CAPLUS' ENTERED AT 14:28:18 ON 05 FEB 2005
L4 89 S L3
L5 7 S L4 AND (PROPENYL OR ALLYL OR PROPYNYL)

=> log y